

STIC Search Report Biotech-Chem Library

STIC Database Tracking Number: 163379

TO: Nyeemah Grazier

Location: REM/5B29/5C18

Art Unit: 1626

Sept. 7, 2005

Case Serial Number: 10/680346

From: P. Sheppard

Location: Remsen Building

Phone: (571) 272-2529

sheppard@uspto.gov

Search Notes

I HILD FRIET BLANK (USPTO)

ACCESS DB # <u>/63379</u> PLEASE PRINT CLEARLY

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: Neeman (orazier Examiner #: 8/002 Date: 8/22/05 Art Unit: 1/02/6 Phone Number: 2-8782 Serial Number: 10/689346 Location (Bldg/Room#\$B37 Row (Mailbox #): 3C18 Results Format Preferred (circle): PAPER DISK
Art Unit: 1/02/6 Phone Number: 2-8 782 Serial Number: 10/ 680,346
Location (Bldg/Room#1829 Rose (Mailbox #): 3C18 Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:
Title of Invention: Purcole carbaxamides and Duralethio amide
as Cualifical
Inventors (please provide full names): Harold Walter, Hermann Schneider.
Title of Invention: Pyrrole carboxamides and pyrrolethio amide Inventors (please provide full names): Hardlot Walter, Hermann Schneider.
Inventors (please provide full names): Hardld Walter, Hermann Schneider. Earliest Priority Date: 10/7/03
· 1 ·

Het = 5 membered ring

containing I sulfur/N/o

atom and \$ & 4 carbons

substituted at one

of the carbon w/

a phenyl ring.

STAFF USE ONLY	Type of Search	Vendors and cost where applicable						
Searcher:	NA Sequence (#)	STNDialog						
Searcher Phone #:	AA Sequence (#)	Questel/Orbit Lexis/Nexis						
Searcher Location:	Structure (#)	Westlaw WWW/Internet						
Date Searcher Picked Up:	Bibliographic	In-house sequence systems						
Date Completed:		CommercialOligomerScore/Length SPDI Encode/Transf						
Online Time:	Other							

BLANK (USPTO)

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(FILE 'HOME' ENTERED AT 15:48:40 ON 07 SEP 2005)

FILE 'REGISTRY' ENTERED AT 15:49:03 ON 07 SEP 2005 1.3 STR 50 SEA SSS SAM L3 L43347 SEA SSS FUL L3 L_5 STR L6 L7 STR 190 SEA SUB=L5 SSS FUL L6 AND L7 L8STR L6 L9 STR L9 L10 STR L10 L11 T-12 19 SEA SUB=L8 SSS FUL L10 OR L11

FILE 'HCAPLUS' ENTERED AT 15:55:23 ON 07 SEP 2005
L13 5 SEA ABB=ON PLU=ON L12
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D IBIB ABS HITSTR L13 1-5

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 6 SEP 2005 HIGHEST RN 862534-94-9 DICTIONARY FILE UPDATES: 6 SEP 2005 HIGHEST RN 862534-94-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

* The CA roles and document type information have been removed from *

* the IDE default display format and the ED field has been added, *

* effective March 20, 2005. A new display format, IDERL, is now *

available and contains the CA role and document type information. *

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

FILE HCAPLUS

Page 1

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FILE COVERS 1907 - 7 Sep 2005 VOL 143 ISS 11 FILE LAST UPDATED: 6 Sep 2005 (20050906/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L3 STR

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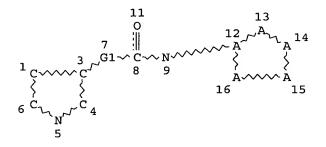
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STEREO ATTRIBUTES: NONE

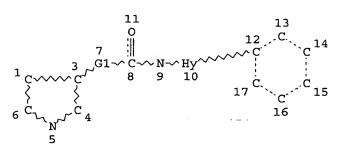
L5 3347 SEA FILE=REGISTRY SSS FUL L3 L6 STR



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NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE L7 STR



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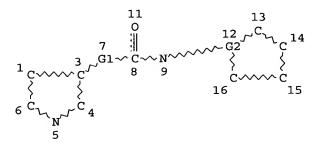
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STEREO ATTRIBUTES: NONE

L8 190 SEA FILE=REGISTRY SUB=L5 SSS FUL L6 AND L7

L10 STR



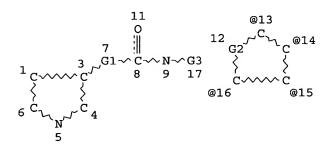
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STEREO ATTRIBUTES: NONE L11 STR



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GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

L12 19 SEA FILE=REGISTRY SUB=L8 SSS FUL L10 OR L11

L13 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L12

=> =>

=> d ibib abs hitstr l13 1-5

L13 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:696342 HCAPLUS

DOCUMENT NUMBER:

141:225302

TITLE:

Preparation of N-arylheterocycles as melanin

INVENTOR(S):

concentrating hormone (MCH) antagonists. Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias; Boehme, Thomas; Hessler, Gerhard; Stahl,

Petra; Gretzke, Dirk

PATENT ASSIGNEE(S):

Aventis Pharma Deutschland GmbH, Germany

SOURCE:

PCT Int. Appl., 390 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

GI

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.																			
	NO 2004072025									WO 2	004 -	EP13		20040213					
WO	NO 2004072025			A3		2004	1223												
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		BG,	BR,	BR,	BW,	BY,	BY,	ΒZ,	ΒZ,	CA,	CH,	CN,	CN,	CO,	CO,	CR,	CR,		
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		ES,	FI,	FI,	GB,	GD,	GE,	GE,	GH,	GM,	HR,	HR,	HU,	HU,	ID,	IL,	IN,		
		IS,	JP,	JP,	KE,	KE,	KG,	KG,	KP,	ΚP,	ΚP,	KR,	KR,	KZ,	KZ,	KZ,	LC,		
		LK,	LR,	LS,	LS,	LT,	LU,	LV,	MA,	MD,	MD,	MG,	MK,	MN,	MW,	MX,	MX,		
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US 2004220191							2004	1104			003-					0030			
PRIORITY APPLN. INFO.:											003-					0030			
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OTHER SOURCE(S):					MAK.	PAT	141:	22531	MARPAT 141:225302										

Title compds. [I; R1, R2 = H, alkyl, alkoxyalkyl, aryloxyalkyl, AB alkylcarbonyl, alkenylcarbonyl, etc.; R1R2N = atoms to form a 4-10 membered mono-, bi-, or spirocyclic (substituted) ring; R3 = H, alkyl; R4, R5 = H, alkyl, OH, alkoxy, alkylcarbonyloxy, alkylthio; R6-R9 = H, alkyl; R6R7, R8R9 = O; A, B, D, G = N, CR42; AB, DG = CR42; R42 = H, F, Cl, Br, iodo, CF3, NO2, cyano, OCF3, alkoxy, alkylthio, alkenyl, cycloalkyl, cycloalkoxy, cycloalkenyl, alkynyl, CO2H, etc.; R10 = H, alkyl, alkenyl, alkynyl; X = NR52, O, bond, C:C, C.tplbond.C, etc.; R52 = H, alkyl; E = (substituted) C3-14 carbocyclyl, heterocyclyl; K = bond, O, CH2O, S, SO,

Ι

CO, C:C, C.tplbond.C, etc.; R11 = H, alkyl, alkoxyalkyl, alkenyl, alkynyl, 3-10 membered (substituted) mono-, bi-, tri- or spirocyclic ring; EKR11 = (unsatd.) tricyclic ring; m, n = 0-2], were prepared Thus, N-[1-(4-aminophenyl)pyrrolidin-3-yl]piperidine was treated with carbonyldiimidazole and then with 4-(4-chlorophenyl)piperidine to give 4-(4-chlorophenyl)piperidine-1-carboxylic acid [4-[3-(acetylmethylamino)pyrrolidin-1-yl]phenyl]amide. The latter at 30 mg/kg orally in female NMRI mice reduced milk consumption by 64%.

IT 748167-62-6P 748167-67-1P 748167-68-2P

748167-69-3P 748167-70-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-arylheterocycles as MCH antagonists)

RN 748167-62-6 HCAPLUS

CN 3-Pyrrolidinecarboxamide, N-[1-[4-[[[[4-(cyclopentyloxy)phenyl]amino]carbo nyl]amino]phenyl]-3-pyrrolidinyl]-N,1-dimethyl-5-oxo-2-(3-pyridinyl)-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 748167-67-1 HCAPLUS

CN 3-Pyrrolidinecarboxamide, N-[1-[4-[[[[4-(cyclopentyloxy)phenyl]amino]carbo nyl]amino]phenyl]-3-pyrrolidinyl]-N,1-dimethyl-5-oxo- (9CI) (CA INDEX NAME)

RN 748167-68-2 HCAPLUS

CN 3-Pyrrolidinecarboxamide, N-[1-[4-[[[[4-(cyclopentyloxy)phenyl]amino]carbo nyl]amino]phenyl]-3-pyrrolidinyl]-N-methyl-5-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

748167-69-3 HCAPLUS RN

3-Pyrrolidinecarboxamide, N-[1-[4-[[[[4-(cyclopentyloxy)phenyl]amino]carbo CN nyl]amino]phenyl]-3-pyrrolidinyl]-N-methyl-5-oxo-1-phenyl- (9CI) INDEX NAME)

748167-70-6 HCAPLUS RN

3-Pyrrolidinecarboxamide, N-[1-[4-[[[[4-(cyclopentyloxy)phenyl]amino]carbo CNnyl]amino]phenyl]-3-pyrrolidinyl]-N-methyl-1-(4-methylphenyl)-5-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B



HCAPLUS COPYRIGHT 2005 ACS on STN L13 ANSWER 2 OF 5

ACCESSION NUMBER:

2001:545661 HCAPLUS

DOCUMENT NUMBER:

135:137397

TITLE:

Preparation of pyrrolecarboxamides and

pyrrolethioamides as fungicides

INVENTOR(S):

Walter, Harald; Schneider, Hermann

PATENT ASSIGNEE(S): Syngenta Participations A.-G., Switz.

SOURCE: PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.																		
	WO)53259			A1 20010726											
		W:				-		•	-			, BG,	•	•			•	•
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES	, FI,	GB,	GD,	GE,	GH,	GM,	HR,
			HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP	, KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX	, MZ,	NO,	NZ,	PL,	PT,	RO,	RU,
			SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR	, TT,	TZ,	UA,	UG,	US,	UΖ,	VN,
			YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD	, RU,	ТJ,	TM				
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			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT	, LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML	, MR,	NE,	SN,	TD,	TG		
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PRIOR												2000-					0000	121
												2001-					0010	
												2002-						
											-			-	-			

OTHER SOURCE(S):

MARPAT 135:137397

The title compds. [I; X = O, S; R1 = alkyl, cycloalkyl, halo; R2 = H, alkyl, alkoxy, etc.; R3 = alkyl; A = (un)substituted ortho-substituted (hetero)aryl, bicyclo(hetero)aryl] which have plant-protective properties and are suitable for protecting plants against infestations by phytopathogenic microorganisms, were prepared Thus, methylation of Me 4-methylpyrrole-3-carboxylate followed by hydrolysis of the resulting ester, and reaction of 1,4-dimethylpyrrole-3-carboxylic acid with 2-(4'-fluorophenyl)aniline afforded I [X = O; R1, R3 = Me; R2 = H; A = 4'-fluorobiphenyl-2-yl] which showed strong efficacy against Puccinia recondita on wheat (< 20% infestation).

IT 351416-74-5P 351416-75-6P 351416-76-7P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyrrolecarboxamides and pyrrolethioamides as fungicides)

RN 351416-74-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(4-chlorophenyl)-3-thienyl]-1,4-dimethyl-

(9CI) (CA INDEX NAME)

351416-75-6 HCAPLUS RN

1H-Pyrrole-3-carboxamide, N-[2-(4-chlorophenyl)-3-thienyl]-1-methyl-4-CN(pentafluoroethyl) - (9CI) (CA INDEX NAME)

RN351416-76-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(4-fluorophenyl)-3-thienyl]-1-methyl-4-(pentafluoroethyl) - (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2005 ACS on STN L13 ANSWER 3 OF 5

6

ACCESSION NUMBER: 2000:133660 HCAPLUS

DOCUMENT NUMBER:

132:166122

(Trifluoromethyl)pyrrolecarboxamides TITLE:

INVENTOR(S): Eberle, Martin; Walter, Harald

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT	NO.		KIN	APPLICATION NO.														
WO	0 2000009482			A1 20000224				WO 1999-EP5837								19990810			
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		IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LF	ζ, Ι	R,	LS,	LT,	LU,	LV	MD,	MG,	
								PL,											
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								IT,											
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	2002																20010		
	US 6365620									GB	199	8-3	17548	3		A :	9980	812	
																	9990		
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Title compds. I (R1 = H, halo, alkyl, haloalkyl; R2 = alkyl, haloalkyl, AB alkoxyalkyl, cyano, alkylsulfonyl, arylsulfonyl, etc.; A = substituted Ph, substituted 3-thienyl, substituted 4-indanyl) were prepared as plant protectants. Thus, 1.9 g 1-methyl-4-(trifluoromethyl)pyrrole-3-carboxylic acid, obtained from Et 4,4,4-trifluorocrotonate, tosylmethyl isocyanide, and MeI, and 0.9 mL oxalyl chloride in 20 mL CH2Cl2 was stirred at room temperature in the presence of a catalytic amount of DMF, the solvent was evaporated

under reduced pressure to give a crystalline solid, and the solid was added to a solution of 1.7 g of 2-biphenylamine and 4.2 mL Et3N in 20 mL CH2Cl2 at 0°, and the reaction mixture was stirred for 2 h at room temperature to

give I (R1 = H, R2 = Me, A = 2-biphenylyl). Application of this compound on apples, grapes, and tomatoes resulted in <10% infestation by Botrytis cinerea.

IT 258510-88-2P 258510-89-3P 258510-91-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

((trifluoromethyl)pyrrolecarboxamides as plant protectants)

RN 258510-88-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(4-fluorophenyl)-3-thienyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 258510-89-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(4-chlorophenyl)-3-thienyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 258510-91-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-(2-[1,1'-biphenyl]-4-yl-3-thienyl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:591677 HCAPLUS

DOCUMENT NUMBER: 117:191677

TITLE: Preparation of pyrrolidinonecarboxylic acids and

related compounds as cholecystokinin antagonists

INVENTOR(S): Becker, Daniel Paul; Flynn, Daniel Lee; Villamil,

Clara Ines

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 213 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.											ICAT		DATE				
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EF	5619	41			B1		1995	0104									
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE		
JF	0650	3827			T2		1994	0428		JP 1	991-	50232	21		1	9911	125
ES	2067	322			T3		1995	0316		ES 1	992-	9012	39		1	9911	125
บร	5314	886			A		1994	0524	1	US 1	992-	96863	17		1	9921	029
PRIORIT	Y APP	LN.	INFO	. :					1	US 1	990-	6265	90	7	A1 1	9901	211
									1	WO 1	991-1	JS864	48	Z	A 1	9911	125
OTHER S	OURCE	(S):			MARI	РАТ	117:	1916	77								

OTHER SOURCE(S): MARPAT 117:191677

GI

AB Title compds. I [Ar = (substituted) aryl, (substituted) heterocyclyl (substituted) bicyclic hydrocarbyl, etc.; R = C1-8 alkyl where 1 C atom may be replaced by O, (substituted) aryl, -aralkyl; X = bond, NH, O, C1-3 alkylene; n = 0, 1; R1, R1' = H, C1-4 alkyl; m = 0-3; R3 = OH, OR5; R5 = C1-6 alkyl, NR6R7; R6,R7 = H, C1-6 alkyl, NR8R9; R8,R9 = (substituted) C4-6 alkylene; R4 = H, C1-4 alkyl; Y = CO, SO2] were prepared as cholecystokinin (CCK) antagonists useful for treatment of CCK related disorders of the gastrointestinal tract, central nervous system, and appetite regulatory system. Thus, Et 4-amino-5-oxo-1-phenyl-3-pyrrolidinecarboxylate (preparation given) was amidated by 2-naphthoyl chloride and the product formed was hydrolyzed to give title compound II. II had IC50 of 0.015 μM against 125I-CCK-OP binding to rat pancreatic membranes.

IT 144023-49-4P 144023-94-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as cholecystokinin antagonist)

RN 144023-49-4 HCAPLUS

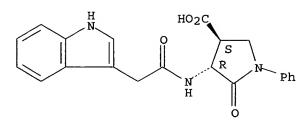
CN 3-Pyrrolidinecarboxylic acid, 4-[(1H-indol-3-ylacetyl)amino]-5-oxo-1-phenyl-, ethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 144023-94-9 HCAPLUS

CN 3-Pyrrolidinecarboxylic acid, 4-[(1H-indol-3-ylacetyl)amino]-5-oxo-1-phenyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L13 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1978:135903 HCAPLUS

DOCUMENT NUMBER:

88:135903

TITLE:

Oxidation of 3-cyano-2,5-pyrrolidinediones by

iodosobenzene diacetate; evidence for

keteniminyliodonium intermediates

AUTHOR (S):

Morel, Georges; Marchand, Evelyne; Seveno, Anne;

Foucaud, Andre

CORPORATE SOURCE:

Groupe Rech. Chim. Struct., Univ. Rennes, Rennes, Fr.

SOURCE: Groupe Recht. C

Tetrahedron Letters (1977), (38), 3353-6 CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE:

Journal

LANGUAGE:

French

GΙ

- AB The formation of keteniminyliodonium complexes in the oxidation of the cyanopyrrolidinediones I (R = Ph; R2 = 2-C6H4C6H4-2) with PhI(OAc)2 was determined by a study of the stereochem. of their reactions with ROH (R = Me, Et, Me2CH, Me3C). Complexes of the type II are proposed.
- RN 65855-28-9 HCAPLUS
- CN 3-Pyrrolidinecarboxamide, N-(3-cyano-1-methyl-2,5-dioxo-4,4-diphenyl-3-pyrrolidinyl)-1-methyl-2,5-dioxo-4,4-diphenyl-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 65855-29-0 HCAPLUS

CN 3-Pyrrolidinecarboxamide, N-(3-cyano-1-methyl-2,5-dioxo-4,4-diphenyl-3-pyrrolidinyl)-1-methyl-2,5-dioxo-4,4-diphenyl-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 65855-27-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 65855-27-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-(3-cyano-1-methyl-2,5-dioxo-4,4-diphenyl-3-pyrrolidinyl)-2-ethoxy-4,5-dihydro-1-methyl-5-oxo-4,4-diphenyl- (9CI) (CA INDEX NAME)

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